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PASSWORD:

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NEWS	1			Web Page for STN Seminar Schedule - N. America
NEWS		AUG	10	Time limit for inactive STN sessions doubles to 40
				minutes
NEWS	3	AUG	18	COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS	4	AUG	24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS	5	AUG	24	CA/CAplus enhanced with legal status information for U.S. patents
NEWS	6	SEP	09	50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS	7	SEP	11	WPIDS, WPINDEX, and WPIX now include Japanese FTERM
NEWS	8	OCT	21	
NEWS	9	OCT	21	
				translated claims for Chinese Applications and Utility Models
NEWS	10	OCT	27	Free display of legal status information in CA/CAplus USPATFULL, and USPAT2 in the month of November.
NEWS	11	NOV	23	
NEWS	12	NOV	23	Annual Reload of IFI Databases
NEWS	EXPI	RESS		26 09 CURRENT WINDOWS VERSION IS V8.4, CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.
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=> fil reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.22 0.22

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FILE 'REGISTRY' ENTERED AT 19:08:27 ON 23 NOV 2009
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STRUCTURE FILE UPDATES: 22 NOV 2009 HIGHEST RN 1193309-59-9
DICTIONARY FILE UPDATES: 22 NOV 2009 HIGHEST RN 1193309-59-9

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http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\STNEXP\Queries\10535268.str

7 8 9 10 11 12 ring nodes:
1 2 3 4 5 6 ring/chain nodes:
15 chain bonds:
4-7 7-8 7-9 9-10 10-11 11-12 ring bonds:
1-2 1-6 2-3 3-4 4-5 5-6 exact/norm bonds:

1-2 1-6 2-3 3-4 4-5 4-7 5-6 7-8 7-9 9-10 11-12 exact bonds:

10-11

chain nodes :

isolated ring systems : containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:Atom 15:CLASS 16:CLASS

L1 HAS NO ANSWERS

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 19:08:45 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 11603 TO ITERATE

17.2% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

| BATCH \*\*COMPLETE\*\*
| PROJECTED ITERATIONS: 225604 TO 238516
| PROJECTED ANSWERS: 1 TO 260

L2 1 SEA SSS SAM L1

=> s 11 sss full

FULL SEARCH INITIATED 19:08:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 230123 TO ITERATE

100.0% PROCESSED 230123 ITERATIONS

256 ANSWERS

1 ANSWERS

L3 256 SEA SSS FUL L1

SEARCH TIME: 00.00.05

=> d scan

L3 256 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-(2-imino[1,4'-bipiperidin]-1'-yl)-, (2S)-

MF C23 H28 C1 N3 O4 S

CI COM

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil cap COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 185.88 186.10

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 19:09:15 ON 23 NOV 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 23 Nov 2009 VOL 151 ISS 22
FILE LAST UPDATED: 22 Nov 2009 (20091122/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

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This file contains CAS Registry Numbers for easy and accurate substance identification.

During November, try the new LSUS format of legal status information in the CA/CAplus family databases for free! Complete details on the number of free displays and other databases participating in this offer appear in NEWS 10.

=> d his

(FILE 'HOME' ENTERED AT 19:08:16 ON 23 NOV 2009)

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12 L3 AND (PRY<2003 OR PY<2003)
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3 ABSES 256177 ABS

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L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1300802 CAPLUS DOCUMENT NUMBER:

149:513860

TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors

INVENTOR(S):

Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent S.; Fischmann, Thierry O.; Kirschmeier, Paul; Bannerji, Rajat; Dillard, Lawrence W.; Tran, Vinh D.; He,

Zhenmin; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas W. PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.

SOURCE:

PCT Int. Appl., 635pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8 PATENT INFORMATION:

PA	PATENT NO.					KIND DATE			APPLICATION NO.						DATE			
WO	2008	1305	70		A1 20081030				WO 2	008-	US49		20080416					
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US 2003-654546

A2 20030903

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 149:513860

GI

- AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical compns. comprising the compound I alone or in combination with other therapeutic agents are claimed.

  IT 677789-58-IP
- RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)
  RN 677789-55-1 CAPLUS
  CN 1-Propanone, 1-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-
- CN 1-Propanone, 1-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)

REFERENCE COUNT:

1 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:1300618 CAPLUS

DOCUMENT NUMBER: 149:513859

TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

kinase inhibitors

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.;

Labroli, Marc; Keertikar, Kartik M.

Schering Corporation, USA PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 723pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8 PATENT INFORMATION:

PA	PATENT NO.				KIND DATE				APPLICATION NO.						DATE			
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 µM and 0.029 µM against CDK2 kinase (cyclin A or cyclin B-dependent). The pharmaceutical composition comprising the compound I, alone or in combination with other therapeutic agent, is claimed.

II 67789-58-IP

III

- RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors) RN 677789-58-1 CAPLUS
- CN 1-Propanone, 1-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

6 L6 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN 2008:251311 CAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors

148:308364 INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.;

Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent S.; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhenmin; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas

Walsh; Kirschmeier, Paul; Bannerji, Rajat Shering Corporation and Pharmacopeia, Inc., USA

PATENT ASSIGNEE(S): SOURCE: U.S. Pat. Appl. Publ., 387 pp., Cont.-in-part of U.S. Patent

Ser. No. 396,079.

CODEN: USXXCO

DOCUMENT TYPE:

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 148:308364 GI

AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020  $\mu\text{M}$  and 0.029  $\mu\text{M}$  against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical compons. comprising the compound I alone or in combination with other therapeutic agents are claimed.

III

IT 677789-58-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors) RN  $\,$  677789-58-1  $\,$  CAPLUS

CN  $1- Propanone, \ 1- [4-[\{3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-1] + [4-[\{3-br$ yl]amino]-1-piperidiny1]-3-(phenylsulfony1)- (CA INDEX NAME)

OS.CITING REF COUNT:

THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

1 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1395785 CAPLUS

DOCUMENT NUMBER: 148:55084

TITLE:

Preparation of pyrazolopyrimidines as cyclin-dependent

kinase inhibitors

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Labroli, Marc; Keertikar, Kartik M.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 497pp., Cont.-in-part of U.S.

Ser. No. 710,644. CODEN: USXXCO Patent

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

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                                            US 2005-245401
                                                                 A3 20051006
                                            US 2007-710644
                                                                 A2 20070223
                                            CN 2003-824997
                                                                 A3 20030903
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US 2007-788856 A 20070420 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 148:55084 GI

AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 µM and 0.029 µM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I, alone or in combination with other therapeutic agent, is claimed. 677789-58-1P

III

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors) RN 677789-58-1 CAPLUS

CN  $1-Propanone, \ 1-[4-[\{3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-1] + [4-[\{3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-1] + [4-[\{3-brom$ yl]amino]-1-piperidiny1]-3-(phenylsulfony1)- (CA INDEX NAME)

ANSWER 5 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:579598 CAPLUS

DOCUMENT NUMBER: 145:62916

TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors

INVENTOR(S):

Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Labroli, Marc; Keertikar, Kartik M.

PATENT ASSIGNEE(S): Schering Corporation, USA SOURCE: U.S. Pat. Appl. Publ., 1068 pp., Cont.-in-part of U.S.

Ser. No. 776,988.

CODEN: USXXCO Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

DOCUMENT TYPE:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
US 20060128725 US 7196078	A1 B2	20060615	US 2005-245401	20051006 <			
CN 1880317 US 7161003	A B2	20070327	CN 2006-10101322 US 2003-654546	20030903 <			
US 20070037824 US 20040209878	A1 A1	20070215	US 2004-776988				
US 7119200 ZA 2005001855	B2 A	20061010	ZA 2005-1855				
US 20070072881 US 7605155	A1 B2	20060329	US 2006-542920	20060117 <			
AU 2006302443 CA 2624829	A1	20070419	AU 2006-302443 CA 2006-2624829				
WO 2007044449		20070419	WO 2006-US38939	20061004			
W: AE, AG,	AL, AM, AT,	AU, AZ, B	A, BB, BG, BR, BW, BY,				
GE, GH,	GM, HN, HR,	HU, ID, I	M, DZ, EC, EE, EG, ES, L, IN, IS, JP, KE, KG,	KM, KN, KP,			
MW, MX,	MY, MZ, NA,	NG, NI, N	T, LU, LV, LY, MA, MD, O, NZ, OM, PG, PH, PL, M, SV, SY, TJ, TM, TN,	PT, RO, RS,			
NU, SC,	DD, DE, DG,	Dr. Dr. D	m, ov, or, ro, rm, rm,	18, 11, 12,			

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UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
        RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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     EP 1931677
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                             20080618 EP 2006-836186
                                                                   20061004
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PRIORITY APPLN. INFO .:
                                            US 2002-408027P
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                                            US 2002-421959P
                                                                P 20021029 <--
                                            US 2003-654546
                                                                A2 20030903
                                            US 2004-776988
                                                                A2 20040211
                                            CN 2003-824997
                                                                A3 20030903
                                            US 2005-245401
                                                                A2 20051006
                                            WO 2006-US38939
                                                                W 20061004
                                            US 2007-710644
                                                                A2 20070223
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 145:62916

GΙ

- ΔR The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 µM and 0.029 µM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. 677789-58-1P
  - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
- (preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors) 677789-58-1 CAPLUS RN
- CN 1-Propanone, 1-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7yl]amino]-1-piperidinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)

OS.CITING REF COUNT: THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:980998 CAPLUS DOCUMENT NUMBER:

141:379942

TITLE:

Preparation of pyrazolopyrimidines as cyclin-dependent

kinase inhibitors INVENTOR(S):

Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent;

Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Rav Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas

PATENT ASSIGNEE (S): Schering Corporation, USA; Pharmacopeia, Inc.

SOURCE: U.S. Pat. Appl. Publ., 1044 pp., Cont.-in-part of U.S. Ser. No. 654,546.

CODEN: USXXCO DOCUMENT TYPE: Patent

LANGHAGE . English FAMILY ACC. NUM. COUNT: 6

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				-	
US 20040209878	A1	20041021	US 2004-776988		20040211 <
US 20040209878	A1	20041021	US 2004-776988		20040211 <
PRIORITY APPLN. INFO.:			US 2002-408027P	P	20020904 <
			US 2002-421959P	P	20021029 <
			US 2003-654546	A2	20030903
			US 2004-776988	Α	20040211

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

- AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl, useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed TC50 of 0.020  $\mu\text{M}$  and 0.029  $\mu\text{M}$  against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. [This abstract
  - record is one of 3 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.
- IT 677789-58-1P
  - RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
- (preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)  ${\tt RN} = 677789 58 1$  CAPLUS
- CN 1-Propanone, 1-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7yl]amino]-1-piperidinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)

L6 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:467881 CAPLUS

DOCUMENT NUMBER: 141:38631

TITLE: Imidazole derivative, process for producing the same, and use

INVENTOR(S): Kubo, Keiji; Kuroita, Takanobu; Imaeda, Yasuhiro;

Kawamura, Masaki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan SOURCE: PCT Int. Appl., 318 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT	NO.			KIN	D	DATE			APPL	ICAT:	ION I	NO.		D.	ATE		
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WO 200	40483	63		A1 20040610				WO 2	003-	JP14	793		2	0031	120	<	
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	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	KZ,	LC,	LK,	LR,	
	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	
	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,	TN,	
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RW	: BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	
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	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	
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AU 200	32845	96		A1		2004	0618	AU 2003-284596					20031120 <				<
EP 156	4213			A1		2005	0817		EP 2	003-	7740	86		2	0031	120	<
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JP 200	41827	30		A		2004	0702		JP 2	003-	3929	92		2	0031	121	<
US 200		A1 20070104			US 2006-535268					20060519 <							
PRIORITY AP	PRIORITY APPLN. INFO.:								JP 2002-338939					A 20021122 <			
							WO 2003-JP14793					W 20031120					

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 141:38631

$$A-W-S(O)_{m}-X-Y-NA-Z1-Z2-Z3-B$$

AB Imidazole derivs. represented by the formula (I) [wherein R = each optionally substituted cyclic hydrocarbon group or heterocyclic group; W = a bond, optionally substituted divalent chain hydrocarbon group; X = optionally substituted divalent hydrocarbon group; Y = CO, S(O), S(O)2, a bond; ring A = each optionally substituted pyrrolidine ring, piperidine ring, or perhydroazepine ring; Z1, Z3 = each independently a bond or optionally substituted divalent chain hydrocarbon group; Z2 = N(R1), O, S(0), S(0)2, CO, CH(R1), a bond; ring B = an optionally substituted imidazole ring, provided that a substituent of the imidazole ring represented by B may be bonded to R1 to form an optionally substituted ring; m = 0, 1, 2] are prepared These imidazole derivs. are inhibitors of activated blood coagulation factor X (FXa) and useful as anticoagulants for the prevention and/or treatment of myocardial infarction, cerebral infarction, deep venous thrombosis, pulmonary thromboembolism and embolism, obstructive arteriosclerosis, economy class syndromes, thromboembolism and embolism during or after surgery, or the second onset of deep venous thrombosis. Thus, 5-methyl-2-(4-piperidinyl)-1,2-dihydro-3H-imidazo[1,5-c]imidazol-3-one was condensed with 3-[(6-chloro-2-naphthyl)sulfonyl]propionic acid using HOBt, Et3N, and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in CH2Cl2 to give 52% 2-[1-[3-[(6-chloro-2-naphthyl)sulfonyl]propanoyl]-4-piperidinyl]-5-methyl-1,2-dihydro-3H-imidazo[1,5-c]imidazol-3-one (II). II showed IC50 of 5.6 nM for inhibiting FXa. Pharmaceutical formulations, e.g. a gelatine capsule containing II, were described.

701295-62-7P IT 701295-58-1P 701295-60-5P 701295-63-8P 701295-65-0P 701295-67-2P 701295-69-4P 701295-70-7P 701295-71-8P 701295-72-9P 701295-73-0P 701295-74-1P 701295-75-2P 701295-76-3P 701295-77-4P 701296-00-6P 701295-78-5P 701296-01-7P 701296-02-8P 701296-03-9P 701296-04-0P 701296-06-2P 701296-05-1P 701296-07-3P 701296-13-1P 701296-12-0P 701296-14-2P 701296-15-3P 701296-16-4P 701296-17-5P 701296-18-6P 701296-19-7P 701296-20-0P 701296-21-1P 701296-22-2P 701296-23-3P 701296-24-4P 701296-25-5P 701296-26-6P 701296-27-7P 701296-28-8P 701296-29-9P 701296-30-2P 701296-31-3P 701296-32-4P 701296-33-5P 701296-42-6P 701296-44-8P 701296-46-0P 701296-99-3P 701297-00-9P 701297-02-1P 701297-01-0P 701297-03-2P 701297-04-3P 701297-05-4P 701297-07-6P 701297-09-8P 701297-08-7P 701297-10-1P 701297-11-2P 701297-12-3P 701297-13-4P 701297-16-7P 701297-15-6P 701297-17-8P 701297-19-0P 701297-21-4P 701297-18-9P 701297-23-6P 701297-25-8P 701297-26-9P 701297-23-6P 701297-28-1P 701297-30-5P 701297-31-6P 701297-33-8P 701297-34-9P 701297-36-1P 701297-34-9P 701297-36-1P 701297-37-2P 701297-40-7P 701297-41-8P 701297-29-2P 701297-32-7P 701297-35-0P 701297-38-3P 701297-42-9P 701297-44-1P 701297-43-0P 701297-45-2P 701297-46-3P 701297-47-4P 701297-48-5P

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701297-49-6P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazole derivs. as inhibitors of activated blood coagulation factor X and antithrombotics)

- RN 701295-58-1 CAPLUS
- CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(1H-imidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)

- RN 701295-60-5 CAPLUS
- CN 1-Propanone, 3-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[4-(1H-imidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)

- RN 701295-62-7 CAPLUS
- CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(2-methyl-1Himidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)

- RN 701295-63-8 CAPLUS
- CN 1-Propanone, 3-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[4-(2-methyl-1H-imidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)

701295-65-0 CAPLUS RN

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(4-methyl-1Himidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)

RN

701295-67-2 CAPLUS 1-Propanone, 3-[(6-bromo-2-naphthaleny1)sulfony1]-1-[4-(4-methy1-1H-CN imidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)

RN 701295-69-4 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(2,4-dimethyl-1Himidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)

RN 701295-70-7 CAPLUS

1-Propanone, 3-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[4-(2,4-dimethyl-1H-CN imidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)

RN 701295-71-8 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(2-ethyl-1Himidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)

RN

701295-72-9 CAPLUS
1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[2-(1-methylethyl)-CN 1H-imidazol-1-yl]-1-piperidinyl]- (CA INDEX NAME)

701295-73-0 CAPLUS RN

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(2-propyl-1Himidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)

RN

701295-74-1 CAPLUS 1-Propanone, 1-[4-(2-buty1-1H-imidazol-1-y1)-1-piperidiny1]-3-[(6-chloro-2-CN naphthalenyl)sulfonyl]- (CA INDEX NAME)

- RN 701295-75-2 CAPLUS
- CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[2-(hydroxymethyl)-1H-imidazol-1-yl]-1-piperidinyl]- (CA INDEX NAME)

- RN
- 701295-76-3 CAPLUS 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[2-(2-CN hydroxyethyl)-1H-imidazol-1-yl]-1-piperidinyl]- (CA INDEX NAME)

$$\begin{array}{c} \mathsf{CH_2-CH_2-OH} \\ \mathsf{S}-\mathsf{CH_2-CH_2-C-N} \\ \mathsf{N} \end{array}$$

- RN 701295-77-4 CAPLUS
- CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(4,5-dimethyl-1Himidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{S-CH}_2\text{-CH}_2\text{-C} \\ \text{N} \end{array}$$

- RN 701295-78-5 CAPLUS
- CN 1-Propanone, 3-[(6-chloro-2-naphthaleny1)sulfony1]-1-[4-(2-methy1-1Hbenzimidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} Me & 0 & 0 \\ N & N & C-CH_2-CH_2-S \\ 0 & 0 & C \end{array}$$

RN 701296-00-6 CAPLUS

CN Imidazo[1,5-a]pyrazin-8(7H)-one, 7-[1-[3-[(6-chloro-2naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-3-methyl- (CA INDEX NAME)

RN 701296-01-7 CAPLUS

CN Imidazo[1,5-a]pyrazin-8(7H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1-methyl- (CA INDEX NAME)

RN 701296-02-8 CAPLUS

CN Imidazo[1,5-a]pyrazin-8(7H)-one, 7-[1-[3-[(6-chloro-2naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1-ethyl- (CA INDEX NAME)

RN 701296-03-9 CAPLUS

CN Imidazo[1,5-a]pyrazin-8(7H)-one, 7-[1-[3-[(6-chloro-2naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1-ethyl-3-methyl-INDEX NAME)

RN 701296-04-0 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-5-ethyl-1,2-dihydro-(CA INDEX NAME)

RN 701296-05-1 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-methyl-4-piperidinyl]-1,2-dihydro-5-methyl-, hydrochloride (1:1) (CA INDEX NAME)

## HC1

RN 701296-06-2 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(4-bromophenyl)sulfonyl]-1oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

RN 701296-07-3 CAPLUS

 $\begin{array}{lll} \text{CN} & 5 \\ \text{H-Imidazo[1,5-a]imidazol-5-one, } 6-[1-[3-[(6-\text{chloro-2-naphthalenyl}) \\ \text{sulfonyl]-1-oxopropyl]-4-piperidinyl]-6,7-dihydro-2-methyl-1} \\ \end{array}$ 

RN 701296-12-0 CAPLUS

CN 1H-Imidazole-5-carboxamide, N-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1oxopropyl]-4-piperidinyl]- (CA INDEX NAME)

701296-13-1 CAPLUS 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[(1H-imidazol-5-mathalenyl)sulfonyl]-1-[4-[(1H-imidazol-5-mathalenyl)sulfonyl]-1-[4-[(1H-imidazol-5-mathalenyl)sulfonyl]-1-[4-[(1H-imidazol-5-mathalenyl)sulfonyl]-1-[4-[(1H-imidazol-5-mathalenyl)sulfonyl]-1-[4-[(1H-imidazol-5-mathalenyl)sulfonyl]-1-[4-[(1H-imidazol-5-mathalenyl)sulfonyl]-1-[4-[(1H-imidazol-5-mathalenyl)sulfonyl]-1-[4-[(1H-imidazol-5-mathalenyl)sulfonyl]-1-[4-[(1H-imidazol-5-mathalenyl)sulfonyl]-1-[4-[(1H-imidazol-5-mathalenyl)sulfonyl]-1-[4-[(1H-imidazol-5-mathalenyl)sulfonyl]-1-[4-[(1H-imidazol-5-mathalenyl)sulfonyl]-1-[4-[(1H-imidazol-5-mathalenyl)sulfonyl]-1-[4-[(1H-imidazol-5-mathalenyl)sulfonyl]-1-[4-[(1H-imidazol-5-mathalenyl)sulfonyl]-1-[4-[(1H-imidazol-5-mathalenyl)sulfonyl]-1-[4-[(1H-imidazol-5-mathalenyl]sulfonyl]-1 CN ylmethyl)amino]-1-piperidinyl]- (CA INDEX NAME)

RN 701296-14-2 CAPLUS

1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[[(2-methyl-1H-CN imidazol-5-yl)methyl]amino]-1-piperidinyl]- (CA INDEX NAME)

RN

701296-15-3 CAPLUS 1-Propanone, 3-[(6-chloro-2-naphthaleny1)sulfony1]-1-[4-[[(4-methy1-1H-methCN imidazo1-5-y1)methyl]amino]-1-piperidinyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{C1} & \text{O} & \text{O} \\ \text{S} & \text{CH}_2\text{-CH}_2\text{-C} & \text{N} \\ \text{O} & \text{Me} \end{array}$$

701296-16-4 CAPLUS RN

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[(1H-imidazol-2ylmethyl)amino]-1-piperidinyl]- (CA INDEX NAME)

701296-17-5 CAPLUS RN

CN Acetamide, N-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4piperidinyl]-N-(1H-imidazol-5-ylmethyl)- (CA INDEX NAME)

RN 701296-18-6 CAPLUS

CN Methanesulfonamide, N-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1oxopropyl]-4-piperidinyl]-N-(1H-imidazol-5-ylmethyl)- (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{S} \\ \text{M} \\ \text{N} \\ \text{C1} \end{array}$$

RN

701296-19-7 CAPLUS
1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[ethyl[(2-methyl-CN 1H-imidazol-5-yl)methyl]amino]-1-piperidinyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{C} \\ \text{S} \\ \text{C} \\ \text{H}_2 \\ \text{C} \\ \text{H}_2 \\ \text{C} \\ \text{H}_2 \\ \text{C} \\ \text{H}_2 \\ \text{N} \\ \text{H}_2 \\ \text{H}_2 \\ \text{H}_3 \\ \text{H}_4 \\ \text{H}_4 \\ \text{H}_5 \\ \text{H}_6 \\ \text{H}_6 \\ \text{H}_7 \\ \text{H}_8 \\ \text$$

RN 701296-20-0 CAPLUS

CN Acetamide, N-[1-[3-[(6-chloro-2-naphthaleny1)sulfony1]-1-oxopropy1]-4piperidiny1]-N-[(2-methy1-1H-imidazol-5-y1)methy1]- (CA INDEX NAME)

$$\begin{array}{c} \text{Ac} \\ \text{N} \\ \text{S-CH}_2\text{-CH}_2\text{-C} \\ \text{N} \end{array}$$

RN 701296-21-1 CAPLUS

CN Imidazo[1,5-a]pyrazin-6(5H)-one, 7-[1-[3-[(6-chloro-2naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-7,8-dihydro-3-methyl-(CA INDEX NAME)

RN 701296-22-2 CAPLUS

CN Acetamide, N-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-N-[(4-methyl-1H-imidazol-5-yl)methyl]- (CA INDEX NAME)

RN 701296-23-3 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[ethyl]((4-methyl-1H-imidazol-5-yl)methyl]amino]-1-piperidinyl]- (CA INDEX NAME)

RN 701296-24-4 CAPLUS

CN Imidazo[1,5-a]pyrazin-6(5H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-7,8-dihydro- (CA INDEX NAME)

RN 701296-25-5 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(5,6-dihydro-3-methylimidazo[1,5-a]pyrazin-7(8H)-yl)-1-piperidinyl]- (CA INDEX NAME)

RN 701296-26-6 CAPLUS

CN Imidazo[1,5-a]pyrazin-6(5H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxpropyl]-4-piperidinyl]-7,8-dihydro-1,5-dimethyl- (CA INDEX NAME)

RN 701296-27-7 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 7-chloro-2-[1-[3-[(6-chloro-2naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro- (CA INDEX NAME)

- 701296-28-8 CAPLUS RN
- CN Imidazo[1,5-a]pyrazin-6(5H)-one, 7-[1-[3-[(6-chloro-2naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-7,8-dihydro-1-methyl-(CA INDEX NAME)

- RN
- 701296-29-9 CAPLUS 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(5,6-dihydro-1-CN methylimidazo[1,5-a]pyrazin-7(8H)-yl)-1-piperidinyl]- (CA INDEX NAME)

- RN 701296-30-2 CAPLUS
- CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-(CA INDEX NAME)

- RN 701296-31-3 CAPLUS
- CN 3H-Imidazo[1,5-c]imidazo1-3-one, 2-[1-[3-[(6-chloro-2naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} O \\ N \\ C \\ CH_2 \\ CH_2$$

## ● HCl

- RN 701296-32-4 CAPLUS
- RN 701296-32-4 CAPLUS
  CN 3H-Imidazo[1,5-c]imidazo[-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-1,7-dimethyl- (CA INDEX NAME)

- RN 701296-33-5 CAPLUS
- CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5,7-dimethyl- (CA INDEX NAME)

- RN 701296-42-6 CAPLUS
- CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-copropyl]-4-piperidinyl]-5-ethyl-1,2-dihydro-7-methyl- (CA INDEX NAME)

- RN 701296-44-8 CAPLUS
- CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro- (CA INDEX NAME)

RN 701296-46-0 CAPLUS

CN 2-Propenamide, N-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-N-(4-methyl-1H-imidazol-5-yl)- (CA INDEX NAME)

$$\begin{array}{c} & & & \\ & &$$

RN 701296-99-3 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-bromo-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-(CA INDEX NABE)

RN 701297-00-9 CAPLUS

CN lH-Imidazo[1,5-c]imidazole-1,3(2H)-dione,
2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-5methyl- (CA INDEX NAME)

RN 701297-01-0 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

RN 701297-02-1 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[[(1E)-2-(4-chlorophenyl)ethenyl]sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

Double bond geometry as shown.

RN 701297-03-2 CAPLUS

CN Imidazo[1,5-a]pyrazin-8(5H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-6,7-dihydro-5-hydroxy-3-methyl (CA IMDEX NAME)

RN 701297-04-3 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one,
6-[1-[3-([6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]7,8-dihydro- (CA INDEX NAME)

RN 701297-05-4 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(5-chloro-1H-indol-2-yl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

RN 701297-07-6 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA IMDEX NAME)

RN 701297-08-7 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[2-[(6-chloro-2-naphthalenyl)sulfonyl]-3-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

RN 701297-09-8 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl (CA INDEX NAME)

Absolute stereochemistry.

RN 701297-10-1 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-(hydroxymethyl)- (CA INDEX NAME)

RN 701297-11-2 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 5-[(acetyloxy)methyl]-2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1, 2-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 701297-12-3 CAPLUS

CN 3H-Tmidazo[1,5-c]imidazol-3-one, 2-[1-[(28)-3-[(6-chloro-2-naphthalenylsulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-(hydroxymethyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 701297-13-4 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 5-[(benzoyloxy)methyl]-2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

\_\_ Cl

RN 701297-15-6 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl]sulfonyl]-2-hydroxy-2-methyl-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HC1

RN 701297-16-7 CAPLUS

CN Carbamic acid, [1-[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 701297-17-8 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[2-amino-3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-, hydrochloride (1:2) (CA INDEX NAME)

2 HC1

- RN 701297-18-9 CAPLUS
- CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-3,4-dihydro-2(1H)-isoquinolinyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-(CA INDEX NAME)

RN 701297-19-0 CAPLUS

CN 3H-Inidazo[1,5-c]imidazol-3-one, 2-[1-[4-[[(1E)-2-(4-chlorophenyl)ethenyl]sulfonyl]-1-oxobutyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

Double bond geometry as shown.

RN 701297-21-4 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[4-[(6-chloro-2-naphthalenyl)sulfonyl]-3-methyl-1-oxobutyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

RN 701297-23-6 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[[2-(4-chlorophenyl)ethyl]sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA IMDEX NAME)

RN 701297-25-8 CAPLUS

CN Carbamic acid, [(1S)-1-[[(6-chloro-2-naphthaleny])sulfony]]methyl]-2-[4-(5-methyl-3-xxxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidiny]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

- RN 701297-26-9 CAPLUS
- CN Acetamide, N-[(1S)-1-[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-2, 2, 2-trifluoro- (CA INDEX NAME)

- RN 701297-27-0 CAPLUS
- CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-2-amino-3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-, hydrochloride (1:2) (CR INDEX NAME)

## Absolute stereochemistry.

#### ●2 HCl

- RN 701297-28-1 CAPLUS
- $\texttt{CN} \quad \texttt{Acetamide, N-[(1S)-1-[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-chloro-2-naphthalenyl)sulfonyl]methyll[4-(5-chloro-2-naphthalenyl)sulfonyl]methyll[4-(5-chloro-2-naphthalenyl)sulfonyl]methyll[4-(5-chloro-2-naphthalenyl)sulfonyl]methyll[4-(5-chloro-2-naphthalenyl)sulfonyl]methyll[4-(5-chloro-2-naphthalenyl)sulfonyl]methyll[4-(5-chloro-2-naphthalenyl)sulfonyl]methyll[4-(5-chloro-2-naphthalenyl)sulfonyl]methyll[4-(5-chloro-2-naphthalenyl)sulfonyl]methyll[4-(5-chloro-2-naphthalenyl)sulfonyl]methyll[4-(5-chloro-2-naphthalenyl)sulfonyl]methyll[4-(5-chloro-2-naphthalenyl)sulfonyl]methyll[4-(5-chloro-2-naphthalenyl)sulfonyl]methyll[4-(5-chloro-2-naphthalenyl)sulfonyl]methyll[4-(5-chloro-2-naphthalenyl]methyll[4-(5-chloro-2-naphthalenyl]methyll[4-(5-chloro-2-naphthalenyl]methyll[4-(5-chloro-2-naphthale$

methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]- (CA INDEX NAME)

#### Absolute stereochemistry.

- RN 701297-29-2 CAPLUS
- CN Carbamic acid, [(1S)-1-[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxoo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, methyl ester (9CI) (CA INDEX NAME)

#### Absolute stereochemistry.

- RN 701297-30-5 CAPLUS
- CN Methanesulfonamide, N-{(15)-1-[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2oxoethyl]- (CA INDEX NAME)

- RN 701297-31-6 CAPLUS
- CN Benzenesulfonamide, N-[(1S)-1-[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]- 2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-

- RN 701297-32-7 CAPLUS
- CN Urea, N=[(13)-1-[[(6-chloro-2-naphthaleny1)sulfony1]methy1]-2-[4-(5-methy1-3-oxo-1H-imidazo[1,5-c]imidazo1-2(3H)-y1)-1-piperidiny1]-2-oxoethy1]-N'ethy1- (CA INDEX NAME)

#### Absolute stereochemistry.

- RN 701297-33-8 CAPLUS
- CN Urea, N=[(1S)-1-[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]- (CA INDEX NAME)

- RN 701297-34-9 CAPLUS
- CN Carbamic acid, [(1S)-1-[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-xxxx-14-midazol[,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2oxoethyl]-, ethyl ester (9CI) (CA INDEX NAME)

- RN 701297-35-0 CAPLUS
- CN Acetamide, N-[(1S)-1-[((6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-cl]midazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-2-methoxv- (CA INDEX NAME)

## Absolute stereochemistry.

- RN 701297-36-1 CAPLUS
- CN Carbamic acid, [(1R)-1-[([6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazo[-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, 1, I-dimethylethyl ester (9CI) (CA INDEX NAME)

- RN 701297-37-2 CAPLUS
- CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxo-2-(phenylamino)propyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

- RN 701297-38-3 CAPLUS
- CN Carbamic acid, [(1S)-1-[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-xoo-1H-imidazo[1,5-c]inidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, phenyl ester (9CI) (CA INDEX NAME)

#### Absolute stereochemistry.

- RN 701297-40-7 CAPLUS
- CN Carbamic acid, [(1S)-1-[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazo[-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, 2-methoxyethyl ester (9C1) (CA INDEX NAME)

- RN 701297-41-8 CAPLUS
- CN 4-Pyridinecarboxamide, N-[(15)-1-[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazo[2,3H)-yl)-1-piperidinyl]-2-oxoethyl]- (CA INDEX NAME)

- RN 701297-42-9 CAPLUS
- CN Carbamic acid, [(1S)-1-[[(6-chloro-2-naphthaleny!)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, 2-(phenylmethoxy)ethyl ester (9CI) (CA INDEX NAME)

- RN 701297-43-0 CAPLUS
- CN Carbamic acid, [(1S)-1-[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-

- RN 701297-44-1 CAPLUS
- CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(25)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxo-2-(2-oxo-3-oxazolidinyl)propyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

## Absolute stereochemistry.

- RN 701297-45-2 CAPLUS
- CN Carbamic acid, [(2S)-2-[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-3-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

- RN 701297-46-3 CAPLUS
- CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(3S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-3-(methylamino)-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

- RN 701297-47-4 CAPLUS
- CN Carbamic acid, cyclohexyl-, [2-[1-[(2S)-3-[(6-chloro-2-aphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

- RN 701297-48-5 CAPLUS CN 4-Piperidinecarboxy
  - 4-Piperidinecarboxylic acid, 1-acetyl-,
  - [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazo[-5-yl]methyl ester (CA INDEX NAME)

PAGE 1-B

RN 701297-49-6 CAPLUS

CN 1-Pyrrolidinepropanoic acid, 2-oxo-,
[2-[1-[(2S)-3-[(6-chloro-2-naphthaleny1)sulfony1]-2-hydroxy-1-oxopropy1]-4piperidiny1]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazo1-5-y1]methy1 ester
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 701297-50-9 CAPLUS

CN 1-Pyrrolidineacetic acid, 2-oxo-, [2-[1-[(2S)-3-[(6-chloro-2-  $\ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ ]$ 

naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 701297-51-0 CAPLUS

CN Carbamic acid, [2-[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-3-[4-(5-methyl-3-xoo-1H-inidazo[1,5-c]inidazol-2(3H)-yl)-1-piperidinyl]-3-oxopropyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 701297-52-1 CAPLUS

CN

1-Piperidinepropanoic acid, 2-oxo-, [2-[1-[(2S)-3-[(6-chloro-2-naphthaleny1)sulfony1]-2-hydroxy-1-oxopropy1]-4-piperidiny1]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazo1-5-y1]methy1 ester (CA INDEX NAME)

PAGE 1-B

RN 701297-53-2 CAPLUS

NN 701237-53-2 CAPLOS
No 1-Piperidineacetic acid, 2-oxo-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-14-imidaco[1,5-c]imidacol-5-yl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 701297-54-3 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2R)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl (CA INDEX NAME)

Absolute stereochemistry.

RN 701297-55-4 CAPLUS

CN Carbamic acid, ethyl-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2, 3-dihydro-3-oxo-1H-imidazo[[1,5-c]inidazol-5-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 701297-56-5 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl)-2-hydroxy-1-oxopropyl]-4-piperidinyl]-5[(dimethylamino)methyl]-1,2-dihydro- (CA INDEX NAME)

- RN 701297-57-6 CAPLUS
- CN Carbamic acid, dimethyl-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

- RN 701297-58-7 CAPLUS
- CN B-Alanine, N-acetyl-, [2-[1-[(25)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2, 3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazo[-5-yl]methyl ester (CA INDEX NAME)

PAGE 1-B

RN 701297-59-8 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-5-(fluoromethyl)-1,2-dinydro- (CA INDEX NAME)

Absolute stereochemistry.

RN 701297-60-1 CAPLUS

CN L-Valine, N-acetyl-, [2-[1-[(2S)-3-](6-chloro-2-naphthalenyl)sulfonyl]-2hydroxy-1-oxoproypl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5c|imidazol-5-yl]methyl ester (CA INDEX NAME)

PAGE 1-B

- RN 701297-61-2 CAPLUS
- CN Carbonic acid, [2-[1-[(2S)-3-[(6-chloro-2-naphthaleny1)sulfony1]-2-hydroxy-1-oxopropy1-4-piperidiny1]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazo1-5y1]methy1 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

- RN 701297-62-3 CAPLUS
- CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-(hydroxymethyl)-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

RN 701297-63-4 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(25)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-5-(difluoromethyl)-1,2-dihydro- (CA INDEX NAME)

# Absolute stereochemistry.

RN 701297-64-5 CAPLUS

CN Acetamide, N-[[2-[1-([2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-loxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl]-N-methyl- (CA INDEX NAME)

#### Absolute stereochemistry.

RN 701297-65-6 CAPLUS

CN Methanesulfonamide, N-[[2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2, 3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazo[-5-yl]methyl]-M-methyl- (CA INDEX NAME)

- RN 701297-66-7 CAPLUS
- CN Butanoic acid, 4-(acetylamino)-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2, 3-dihydro-3-oxo-1H-imidazo([1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

- RN 701297-67-8 CAPLUS
- CN Pentanoic acid, 5-(benzoylamino)-,
  [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester
  (CA INDEX NAME)

PAGE 1-B

- RN 701297-68-9 CAPLUS
- CN β-Alanine, N-(1-oxobutyl)-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2, 3-dihydro-3-oxo-14-imidazo(1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

- RN 701297-71-4 CAPLUS
- CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5,7-dimethyl- (CA INDEX NAME)

RN 701297-72-5 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-(methoxymethyl)- (CA INDEX NAME)

#### Absolute stereochemistry.

RN 701297-73-6 CAPLUS

CN B-Alanine, N-(1-oxohexyl)-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2, 3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazo[-5-yl]methyl ester (CA INDEX NAME)

RN 701297-74-7 CAPLUS

CN β-Alanine, N-ethyl-N-(1-oxopropyl)-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 701297-75-8 CAPLUS

CN β-Alanine, N-ethyl-N-(1-oxobutyl)-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

PAGE 1-B

- RN 701297-76-9 CAPLUS
- CN β-Alanine, N-acetyl-N-methyl-,

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

- RN 701297-77-0 CAPLUS
- CN β-Alanine, N-acetyl-N-ethyl-,

[2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-

piperidiny1]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

- RN 701297-78-1 CAPLUS
- CN β-Alanine, N-methyl-N-(1-oxobutyl)-,

[2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

- RN 701297-79-2 CAPLUS
- CN β-Alanine, N-methyl-N-(1-oxohexyl)-,
  - [2-[1-[(2S)-3-](6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

PAGE 1-B

- RN 701297-80-5 CAPLUS
- CN B-Alanine, N-acetyl-N-propyl-, [2-[1-(28)-3-([6-chloro-2-naphthalenyl)sulfonyl-2-hydroxy-1-oxopropyl]-4-piperidinyl-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

PAGE 1-A

RN 701297-89-4 CAPLUS

CN Inidazo[1,2-a]pyridine-3-carboxamide,
N-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]5,6,7,8-tetrahydro- (CA INDEX NAME)

RN 701298-05-7 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(3,4-dihydropyrido[4',3':4,5]imidazo[1,2-a]pyridin-2(1H)-yl)-1-piperidinyl]-, hydrochloride (1:2) (CA INDEX NAME)

● 2 HC1

RN 701298-08-0 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(3,4,6,7,8,9-hexahydropyrido[4',3':4,5]imidazo[1,2-a]pyridin-2(1H)-yl)-1-piperidinyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 701298-10-4 CAPLUS

CN 1-Propanone,  $3-[(5-\text{chloro-1H-indol-}2-\text{yl})\,\text{sulfonyl}]-1-[4-(3,4,6,7,8,9-\text{hexahydropyrido}[4',3':4,5]\,\text{imidazo}[1,2-a]\,\text{pyridin-}2(1\text{H})-\text{yl})-1-\text{piperidinyl}]-,$ 

● 2 HC1

- RN 701298-11-5 CAPLUS
- CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2naphthalenyl)sulfonyl]-1-oxopropyl]-4-methyl-4-piperidinyl]-1,2-dihydro-5methyl- (CA INDEX NAME)

- RN 701911-96-8 CAPLUS
- CN 5H-Imidazo[1,5-a]imidazol-5-one, 6-[1-[3-[(6-chloro-2naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-6,7-dihydro- (CA INDEX NAME)

- IT 701298-60-4P 701298-62-6P 701298-63-7P 701298-64-8P 701298-82-0P 701299-44-7P
  - 701299-62-9P 701299-64-1P
  - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazole derivs. as inhibitors of activated blood coagulation factor X and antithrombotics)

- RN
- 701298-60-4 CAPLUS 1-Propanone, 1-(4-amino-1-piperidiny1)-3-[(6-chloro-2naphthalenyl)sulfonyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{S} \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{C} \\ \text{C} \end{array} \begin{array}{c} \text{NH}_2 \\ \text{NH}_2 \\ \text{NH}_3 \\ \text{NH}_4 \\ \text{NH}_2 \\ \text{NH}_3 \\ \text{NH}_4 \\ \text{NH}_4 \\ \text{NH}_5 \\ \text{NH}_6 \\ \text{NH}_$$

701298-62-6 CAPLUS RN

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[[[1-(triphenylmethyl)-1H-imidazol-4-yl]methyl]amino]-1-piperidinyl]- (CA INDEX NAME)

RN 701298-63-7 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[[[2-methyl-1-(triphenylmethyl)-1H-imidazol-4-yl]methyl]amino]-1-piperidinyl]- (CA INDEX NAME)

RN 701298-64-8 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[[[4-methyl-1-(triphenylmethyl)-1H-imidazol-5-yl]methyl]amino]-1-piperidinyl]- (CA INDEX NAME)

RN

701298-82-0 CAPLUS
1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[[(2-ethyl-4-CN methyl-1H-imidazol-5-yl)methyl]amino]-1-piperidinyl]- (CA INDEX NAME)

RN 701299-44-7 CAPLUS

CN lH-Indole-1-carboxylic acid, 5-chloro-2-[(3-[4-(5-methyl-3-oxo-lH-imidazo[1,5-c]imidazo[1,0-c])-1-piperidinyl]-3-oxopropyl]sulfonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

$$\begin{array}{c} & & & \\ & &$$

RN 701299-62-9 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,2-dihydro- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{t-Bu} - \text{Si-O-CH}_2 \\ \text{Me} \end{array}$$

RN 701299-64-1 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-aphthalarol]) sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,2-dihydro- (CA INDEX NAME)

PAGE 1-B

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:265847 CAPLUS

DOCUMENT NUMBER: 140:321370 TITLE: Preparation

TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors

Kinase innibitors

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.;
Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil;

Mallams, Alan; Alvarež, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas

Walsh

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.

SOURCE: PCT Int. Appl., 609 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

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WO 20	WO 2004022561				A1		20040318		1	WO 2003-XA27555					20030903 <				
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NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CN 1735614 Α 20060215 CN 2003-824997 20030903 <--CN 100376580 С 20080326 20061220 CN 1880317 Α CN 2006-10101322 20030903 <--ZA 2005001855 Α 20060329 ZA 2005-1855 20060117 <--PRIORITY APPLN. INFO.: US 2002-408027P P 20020904 <--US 2002-421959P P 20021029 <--CN 2003-824997 A3 20030903

GI

AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyll, useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020  $\mu\text{M}$  and 0.029  $\mu\text{M}$  against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. [This abstract

record is one of 3 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.

IT 677789-58-1P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 677789-58-1 CAPLUS

CN 1-Propanone, 1-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)

L6 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:10480 CAPLUS

DOCUMENT NUMBER: 136:85818

Preparation of pyrrolo[2,3-d]pyrimidines as TITLE:

immunosuppressive agents INVENTOR(S): Blumenkopf, Todd Andrew; Flanagan, Mark Edward; Munchhof, Michael John

PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: PCT Int. Appl., 86 pp.

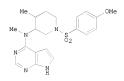
CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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EP	1686130	A1	20060802	EP 2006-7969 20010605 <
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PRIORITY	APPLN. INFO.:			US 2000-214287P P 20000626 <
				EP 2001-934243 A3 20010605 <
				WO 2001-IB975 W 20010605 <
				US 2001-891028 A1 20010625 <
				US 2003-463724 A1 20030616
				US 2005-112307 A3 20050421
OTHER SO	OURCE(S):	MARPAT	136:8581	3

GI



- AB The title compds. [I; Rl = NR4(CH2)yR5 (wherein y = 0-2; R4 = H, alkyl, alkylsulfonyl, etc.; R5 = substituted heterocycloalkyl); R2, R3 = H, NH2, halo, etc.], useful as inhibitors of protein kinases, such as the enzyme Janus Kinase 3 (no data given), were prepared, e.g., a multi-step synthesis of II was given.
  - T 384337-72-8P 384337-79-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolo[2,3-d]pyrimidines as immunosuppressive agents)  ${\tt RN} = 384337-72-8 - {\tt CAPLUS}$ 

CN Ethanone, 1-[4-methyl-3-(methyl-7H-pyrrolo[2,3-d]pyrimidin-4-ylamino)-1-piperidinyl]-2-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

RN 384337-79-5 CAPLUS

CN Ethanone, 1-[4-methyl-3-(methyl-7H-pyrrolo[2,3-d]pyrimidin-4-ylamino)-1-piperidinyl]-2-(3-thiazolidinylsulfonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ N-C-CH_2-S-N \\ & & \\ N-Me \\ & & \\ N \end{array}$$
 Me

OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:762989 CAPLUS

DOCUMENT NUMBER: 135:318419

TITLE: Synthesis of substituted bipiperidines and their use

as H1 antagonists
INVENTOR(S): Lawrence, Louise; Rigby, Aaron; Sanganee, Hitesh;

Springthorpe, Brian
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 160 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO 2001077101				A1 20011			1018	WO 2001-SE751						20010405 <			
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CN 1244576 C 20060308
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US 2003-436582 A3 20030513
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 135:318419

GI

$$\begin{array}{c|c} \text{R}^{1}-\text{X} & \overset{\text{\tiny (Qm)}}{\longleftarrow} \overset{\text{\tiny (Qm)}}{\longleftarrow} \overset{\text{\tiny (QM)}}{\longleftarrow} (\text{CH2})_{\widehat{\mathbf{q}}} - (\text{CH2})_{\widehat{\mathbf{q}}} - (\text{CH2})_{\widehat{\mathbf{r}}} - \text{R}^{3} \\ & \overset{\text{\tiny (QM)}}{\longleftarrow} (\text{CH2})_{\widehat{\mathbf{q}}} - (\text{CH2})_{\widehat$$

AB Title compds. I [q, s, t = 0 - 1; n, r = 0 - 5; m, p = 0 - 2; X = CH, C(O), O, S, S(O), S(O), N-; provided that when m and p are both 1 then X is not CH; Y = NHRZ, OH; T = C(O), C(S), S(O), CH2; R1 = H, alkyl, aryl, heterocyclyl; R2, R47 = H, alkyl, aryl-alkyl, CO-alkyl; R3 = alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, thioaryl, thioheterocyclyl were prepared Examples include: data for over 600 compds. 4 solid oral dosage and 1 parenteral (general) formulations, a bioassay for Ca2+ flux, human eosinophil chemotaxis and H1 antagonism. B.g., 4-(3,4-dichlorophenoxy)piperidine was alkylated with 1-(tert-butoxycarbonyl)-4-piperidone (1,2-dichloropheno, NABH(OAC)3, HOAC 18 b room temperature) to dive an irrangiste 1 4 thiniprovision

HOAc, 18 h, room temperature) to give an intermediate [1,4']bipiperidine. This intermediate was deprotected (DCM, TFA, 4 h, room temperature) and the resulting

bipiperidine condensed with 3-methanesulfonylbenzoic acid (THF, PYBROP, (i-Pr)ZNEt, 18 h, room temperature) to give example compound II isolated as the acetate salt. I are used in the treatment of a chemokine (such as CCR3) or H1 mediated disease state.

IT 367498-05-3P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THD (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug; synthesis of substituted bipiperidines and use as H1

antagonists) RN 367498-05-3 CAPLUS

CN 1-Propanone, 1-[4-(3,4-dichlorophenoxy)[1,4'-bipiperidin]-1'-yl]-2-[[5-(trifluoromethyl)-2-pyridinyl]sulfonyl]- (CA INDEX NAME)

OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS

RECORD (54 CITINGS)

REFERENCE COUNT: 1.0 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:453019 CAPLUS

DOCUMENT NUMBER: 135:46106

TITLE: 4-Aminopiperidine derivatives, processes for their preparation, pharmaceutical compositions, and their use as medicines, specifically as somatostatin

receptor ligands

INVENTOR(S): Thurieau, Christophe; Gonzalez, Jerome; Moinet,

Christophe

PATENT ASSIGNEE(S):

Societe de Conseils de Recherches et d'Applications Scientifiques (S.C.R.A.S.), Fr.

SOURCE: PCT Int. Appl., 193 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PRI

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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 135:46106 GI

The invention concerns novel 4-aminopiperidine derivs. I [R1 = alkyl, alkenyl, alkynyl, (CH2)mYZ1, (CH2)mZ2, 1-benzylpiperidin-4-yl, 2-naphthylcarbamoyl, 4-benzylpiperazin-1-yl, 2-acetamidoethyl; Z1 = alkyl or (un)substituted aryl; Z2 = cyano, cyclohexenyl, bis-Ph, cycloalkyl, (un) substituted heterocycloalkyl, aryl, heteroaryl, etc.; R2 = C(Y)NHX1, C(0) X2, SO2X3; R3 = H, (un) substituted alkyl, alkenyl, alkynyl, aralkyl, C(Y)NHX1, (CH2)nC(O)X2, SO2X3, etc.; X1 = alkyl, alkenyl, alkynyl, aryl, aralkyl, etc.; X2 = wide variety of groups; X3 = alkyl, alkenyl, phenylalkenyl, CF3, (un)substituted (hetero)aryl or -aralkyl; Y = 0, S; n = 0-4; m = 1-6]. Also disclosed are methods for their preparation by parallel synthesis processes in liquid and solid phase. I have good affinity for certain sub-types of somatostatin receptors, and are particularly useful for treating pathol. conditions or diseases wherein one more somatostatin receptor sub-types are involved. Claims specifically mention acromegaly, pituitary adenoma, or endocrine gastroenteropanceatic tumors in carcinoid syndrome. A table of 778 compds. I is given, and several syntheses are described in detail. For instance, N-BOC-4-piperidone underwent reductive amination with 3,3-diphenylpropylamine and NaBH(OAc)3, followed by reaction with 3-trifluoromethylphenyl isocyanate, removal of the BOC group with CF3CO2H, and reaction with Ph isocvanate, to give title compound II. Some compds. I had sub-micromolar Ki for at least one of five tested somatostatin receptor subtypes (no data).

II

CF3

IT 344783-02-4P 344783-21-7P 344783-40-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aminopiperidine derivs. as somatostatin receptor ligands)

RN 344783-02-4 CAPLUS

R2

Glycine, N-[[[1-[1-oxo-3-(phenylsulfonyl)propyl]-4-piperidinyl][1-(phenylmethyl)-4-piperidinyl]amino[carbonyl]-, ethyl ester (CA INDEX NAME)

- RN 344783-21-7 CAPLUS
- CN Urea, N'-butyl-N-[1-[1-oxo-3-(phenylsulfonyl)propyl]-4-piperidinyl]-N-[1-(phenylmethyl)-4-piperidinyl]- (CA INDEX NAME)

- 344783-40-0 CAPLUS RN
- CN Thiourea, N'-[2-(4-morpholinyl)ethyl]-N-[1-[1-oxo-3-(phenylsulfonyl)propyl]-4-piperidinyl]-N-[1-(phenylmethyl)-4-piperidinyl]-(CA INDEX NAME)

- OS.CITING REF COUNT:
- THERE ARE 13 CAPLUS RECORDS THAT CITE THIS
- 13 RECORD (16 CITINGS)
- REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
- ANSWER 12 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1996:466913 CAPLUS

DOCUMENT NUMBER: 125:142726

ORIGINAL REFERENCE NO.: 125:26717a,26720a TITLE: Muscarine antagonists

INVENTOR(S): Thompson, Wayne J.; Sugrue, Michael F.; Ransom,

Richard W.; Mallorga, Pierre J.; Bell, Ian M.; Smith,

Anthony M.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA SOURCE: PCT Int. Appl., 125 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO	9613	262			A1 19960509					WO 1	995-	US13		19951024 <				
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 125:142726; MARPAT 125:142726

AB Compds., 1,3-dihydro-1-{1-[piperidin-4-y1]piperidin-4-y1}-2H-benzimidazol-2-ones and 1,3-dihydro-1-(4-amino-1-cyclohexy1}-2H-benzimidazol-2-ones and derivs. thereof, their preparation, method of use and pharmaceutical compns. are described. These compds. are endowed with antimuscarinic activity and are useful in the treatment and/or prevention of myopia (commonly known as

nearsightedness).

179323-34-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 179323-34-3 CAPLUS

CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[1'-[2-(4-

pyridinylsulfonyl)acetyl][1,4'-bipiperidin]-4-yl]- (CA INDEX NAME)

OS.CITING REF COUNT: 43 THERE ARE 43 CAPLUS RECORDS THAT CITE THIS RECORD (54 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

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FILE CONTAINS CURRENT INFORMATION.
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